

REMARKS

Reconsideration and withdrawal of the rejections of the application are respectfully requested in view of the amendments and remarks herewith, which place the application into condition for allowance.

The invention relates to the technical field of the chemical synthesis of bioactive compounds, preferably the process used for the preparation of crop protection agents and intermediates for these processes.

I. STATUS OF CLAIMS AND FORMAL MATTERS

Claims 1-5 and 7-14 are now pending. Claim 1 was amended, claim 6 was cancelled and new claims 11-14 were added, without prejudice.

No new matter has been added by these amendments.

It is submitted that these claims are patentably distinct from the prior art cited by the Examiner, and that these claims are in full compliance with the requirements of 35 U.S.C. §112. The amendments and remarks made herein are not made for the purpose of patentability within the meaning of 35 U.S.C. §§ 101, 102, 103 or 112; but rather the amendments and remarks are made simply for clarification. Support for new claims 11-14 is found throughout the specification.

Pursuant to 37 C.F.R. 1.17(a) and 1.136(a), a three month extension of time, i.e. up to and including February 7, 2003, is respectfully requested. Enclosed herewith is a check for \$930.00 in payment of the fee thereof. Any deficiency or overpayment in this fee, or any other charge occasioned by this paper, may be charged or credited to Deposit Account No. 50-0320.

II. 35 U.S.C. §112, Second Paragraph, Rejections

Claims 1-10 were rejected under 35 U.S.C. §112, second paragraph, as allegedly being indefinite. The rejection is traversed.

The amendments to claim 1, without prejudice, render the rejection moot.

Consequently, reconsideration and withdrawal of the Section 112, second paragraph, rejection are respectfully requested.

III. 35 U.S.C. §112, First Paragraph, Rejections

Claims 1-10 were rejected under 35 U.S.C. §112, first paragraph, for allegedly lacking enablement. Applicants disagree.

It is respectfully pointed out that “the laws do not require a specification to be a blueprint in order to satisfy the requirement for enablement under 35 U.S.C. §112”. *Staehelin v. Secher*, 24 U.S.P.Q.2d 1513, 1516 (Bd. Pat. App. & Int. 1992). Indeed, a specification need not disclose — and best omits — that which is well known in the art. *In re Buchner*, 929 F.2d 660, 661, 18 U.S.P.Q.2d 1331, 1332 (Fed. Cir. 1991).

It is respectfully submitted that the assertions in the Office Action that undue experimentation is required to practice the instantly claimed invention are inaccurate. The Examiner is respectfully invited to review *In re Wands*, 8 U.S.P.Q. 2d 1400 (Fed. Cir. 1988), wherein the Federal Circuit stated at 1404 that:

Enablement is not precluded by the necessity for some experimentation such as routine screening. However, experimentation needed to practice the invention must not be undue experimentation. ‘The key word is undue, not experimentation.’ The determination of what constitutes undue experimentation in a given case requires the application of standard of reasonableness, having due regard for the nature of the invention and the state of the art. The test is not merely quantitative, since a considerable amount of experimentation is permissible, if it is merely routine, or if the specification in question provides a reasonable amount of

guidance with respect to the direction in which the experimentation should proceed ... [Citations omitted].

Against this background, determining whether undue experimentation is required to practice a claimed invention turns on weighing the factors summarized in *In re Wands*. These factors include, for example, (1) the quantity of experimentation necessary; (2) the amount of direction or guidance presented; (3) the presence or absence of working examples of the invention; (4) the nature of the invention; (5) the state of the prior art; (6) the relative skill of those in the art; (7) the predictability or unpredictability of the art; and (8) the breadth of the claims; all of which must be taken into account.

Applicants disagree with the Examiner's contention that enablement for alkenyl and alkynyl substituents is lacking. The presence of unsaturated groups such as alkenyl or alkynyl groups in group R¹ of the triazine molecule of formula (II) does not mean that the chlorination of a compound of formula (II) will not produce the desired product of formula (I). The chlorination of the compound of formula (I) results in the reaction of the group X-S- with chlorine first, i.e., group X-S- is far more reactive than a group R¹= alkenyl or alkynyl. Therefore, the chlorination can be stopped before the alkenyl or alkynyl will react with chlorine in substantial amounts. Contrary to the Examiner's assumption, the presence of alkenyl or alkynyl groups in the compounds of formula (II) or desired compounds of formula (I) is, thus, not incompatible with the inventive process. In the worse cases, a separation of the final product (I) from the side-product (formed by chlorination of unsaturated groups) can be made if desired. A general deletion of said radicals is, thus, not necessary.

Applicants also disagree with, *inter alia*, the Examiner's allegations that the art is unpredictable and that there is inadequate enabling disclosure/guidance in the specification. The instant invention is directed to a process for the chlorination of heteroaromatic compounds

having an amino function and an alkylthio functional group (or thio groups). The heteroaromatic ring, as instantly claimed, is a 1,3,5-triazine ring. The reaction is demonstrated by Examples (a) to (e) in the specification to work well and selectively at the alkylthio function. Therefore, one skilled in the art would also know that the process also works with the other compounds of formula (II) in a like manner.

Further, Applicants disagree with the remaining allegations on pages 4 to 6 of the Office Action. Undue experimentation would not be necessary to practice the instantly claimed invention. Applicants respectfully assert that it is normal practice for a skilled artisan to consider side reactions if specific functional groups are also present. The artisan would know to apply techniques such as utilizing protecting groups if the functional group is not to react.

Thus, applying *Wands* to the instant facts, it is clear that enablement exists, to wit, *inter alia*, that the quantity of experimentation necessary is low; the amount of direction or guidance presented is high; working examples are clearly present; the relative skill of those in the art is high; and the predictability of the art is also high. The assertions in the Office Action, e.g., that the instant invention presumably lacks enablement, therefore, are misplaced because undue experimentation would not exist.

Consequently, reconsideration and withdrawal of the Section 112, first paragraph, rejection are respectfully requested.

IV. 35 U.S.C. §103 Rejections

Claims 1-10 were rejected under 35 U.S.C. §103(a) as allegedly being unpatentable to Giencke et al. (WO 97/08156) or U.S. Patent No. 6,069,114 to Lorenz et al. in view of Chakrabarti et al, *Tetrahedron*, **1975**, 31:1879-82. The rejection is traversed.

It is well-settled that there must be some prior art teaching which would have provided the necessary incentive or motivation for modifying the reference teachings. *In re Laskowski*, 12 U.S.P.Q. 2d 1397, 1399 (Fed. Cir. 1989); *In re Obukowitz*, 27 U.S.P.Q. 2d 1063 (BOPAI 1993). Further, "obvious to try" is not the standard under 35 U.S.C. §103. *In re Fine*, 5 U.S.P.Q. 2d 1596, 1599 (Fed. Cir. 1988). And, as stated by the Court in *In re Fritch*, 23 U.S.P.Q. 2d 1780, 1783-1784 (Fed. Cir. 1992): "The mere fact that the prior art may be modified in the manner suggested by the Examiner does not make the modification obvious unless the prior art suggests the desirability of the modification." Also, the Examiner is respectfully reminded that for the Section 103 rejection to be proper, **both the suggestion of the claimed invention and the expectation of success must be founded in the prior art, and not Applicants' disclosure.** *In re Dow*, 5 U.S.P.Q.2d 1529, 1531 (Fed. Cir. 1988).

Applying the law to the instant facts, neither Giencke nor Lorenz, individually or in combination, teach, suggest or motivate a skilled artisan to practice the instantly claimed invention. Chakrabarti does not remedy the deficiencies in these references outlined by the Office Action, e.g., that Giencke does not teach an example for making 2-chloro-triazine using Applicant's chlorination process and reaction.

Further, the instant invention provides for superior results. Applicants respectfully assert that the invention is in recognition and demonstration that an efficient chlorination is possible by the selection/adoption of reaction parameters. Contrary to the reaction time, the parameters are the solvent and temperature conditions as set forth in the examples. Applicants submit that this is a significant advantage which one of skill in the art would not have recognized from the teachings of the references, either alone or in any fair combination.

The broader exploitation of the chlorination of thio-aminotriazine derivatives, as taught by Applicants, is a significant and unexpected advantage over what one might have expected from the teachings of the references. Specifically, the low yield obtained by transfer of the conditions used in the Chakrabarti reference to the chlorination of the methylthio-aminotriazine compound (II) was desperately low (see results reported at page 17 of the specification, Example (f), where a minimal yield of only 10% is reported). This must be contrasted with the reaction yields of 60 to 80% for the instant invention reported at Examples (a) through (e).

Applicants disagree with the Examiner's criticism of the comparison tests (Office Action at pages 8-11). First, the low yield obtained by the transfer of the conditions used in the Chakrabarti reference to the chlorination of the methylthio-aminotriazine compound (II) was desperately low. It is submitted that in view of these results, one of skill in the art would not have expected the instant reaction to provide such a significantly improved yields, by the change in the reaction conditions. Second, the low yield is not due to a short reaction time. The chlorination was stopped after the starting material had reacted. A prolongation/variation of the reaction time would not have improved the yield. Third, the direct example to compare example (f) is example (e) because both processes include the same starting material and final product. The other examples show that the variation of the starting material can be made relatively freely without obtaining a substantially different yield. The Examiner criticizes the difference in the reaction time but should note that this is readily explained if one considers the amount of starting material in example (f) is reduced compared with examples (a) to (e) and is, therefore, more quickly reacted.

Thus, while Applicants take the position that no *prima facie* case of obviousness exists, Applicants reiterate that the comparative data of record, which is set forth at pages 15-17 of the specification, is more than sufficient to overcome any such case.

Consequently, reconsideration and withdrawal of the Section 103 rejection are respectfully requested.

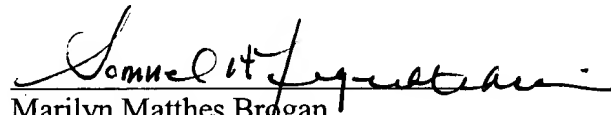
CONCLUSION

Claims 1-5 and 7-14 should be allowed; and this application is in condition for allowance. Favorable reconsideration of the application, withdrawal of the rejections, and prompt issuance of the Notice of Allowance are, therefore, all earnestly solicited.

Respectfully submitted,

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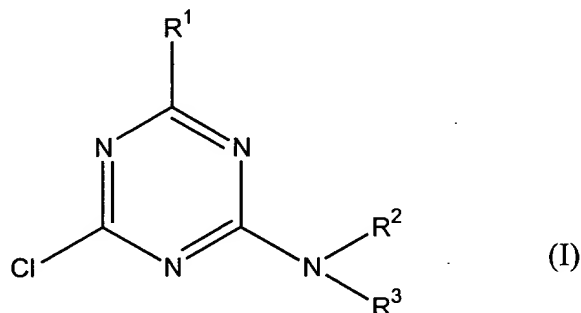
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VERSION WITH MARKINGS SHOWING CHANGES MADE

Please amend claim 1, without prejudice, to read as follows:

1. (Amended) A process for the preparation of compounds of the formula (I) or salts thereof

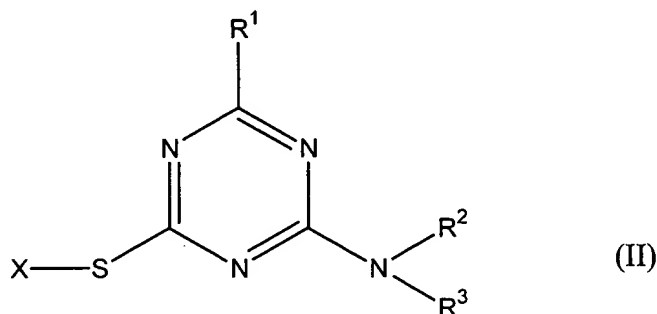


in which

R¹ is (C₁-C₈)alkyl or (C₃-C₈)cycloalkyl, where each of the two above radicals independently of one another is unsubstituted or unsubstituted,
and

R₂, R₃ in each case independently of one another are hydrogen, amino, hydroxyl, formyl or unsubstituted (C₁-C₈)alkyl, (C₁-C₈)alkylamino, di[(C₁-C₈)alkyl]amino, (C₁-C₈)alkyloxy, aryl, aryloxy, (C₃-C₈)cycloalkyl, [(C₁-C₈)alkyl]carbonyl, [(C₁-C₈)alkoxy]-carbonyl, arylcarbonyl, aryloxycarbonyl, (C₁-C₈)alkylsulfonyl, arylsulfonyl or an unsubstituted or substituted heterocyclyl radical, heterocyclyloxy radical, heterocyclyamino radical, each of which has 3 to 6 ring atoms and 1 to 3 hetero ring atoms selected from the group consisting of N, O and S, or

R^2, R^3 together with the nitrogen from the group NR^2R^3 are a heterocyclic radical having 3 to 6 ring atoms and 1 to 4 hetero ring atoms, where, in addition to the nitrogen atom, the other hetero ring atoms which may exist are selected from the group consisting of N, O and S and the heterocycle is unsubstituted or substituted, which comprises converting 2-amino-4-thio-1,3,5-triazines of the [general] formula (II)



in which X represents hydrogen, (C_1-C_6) alkyl, (C_1-C_6) alkenyl, (C_2-C_6) alkynyl or phenyl, where each of the last mentioned 4 radicals is unsubstituted or substituted, or represents a 2-amino-4-thio-1,3,5-triazine radical which is bonded via sulfur and equally substituted compared to the other triazine ring in the compound of formula I, by chlorination [into the compounds (I)] in the presence of an essentially anhydrous protic solvent.

Please add new claims 11-14 as follows:

11. (New) The process as claimed in claim 1, wherein said essentially anhydrous protic solvent is a carboxylic acid.

12. (New) The process as claimed in claim 1, wherein said essentially anhydrous protic solvent is selected from the group consisting of formic acid, acetic acid, n-propionic acid, n-butanoic acid and isobutanoic acid.

13. (New) The process as claimed in claim 1, wherein said essentially anhydrous protic solvent is glacial acetic acid.

14. (New) The process as claimed in claim 1, wherein X is (C₁-C₄)alkyl.